Scientific and Technical Information Center

SEARCH REQUEST FORM

(2) E CO	One Number: 2- 0663 (Mailbox #): 5C18 Result	miner # : <u>59193</u> Date Serial Number: s Format Preferred (circle): (************************************	70719102 PAPER) DISK
	arch, please attach a copy of the cover she	•	
Title of Invention:			
Inventors (please provide full nan	nes):		
Earliest Priority Date:		*	
elected species or structures, keywords Define any terms that may have a spec	the search topic, and describe as specificall ; synonyms, acronyms, and registry numbe cial meaning. Give examples or relevant cit	rs, and combine with the concept of tations, authors, etc., if known.	many by the inventor
For Sequence Searches Only Pleas appropriate serial number.	e include all pertinent information (parent, N X Y A H M	$\dot{X}, \dot{Z}, = -(0) - 0$ $\dot{Z}, \dot{Z}, = -(0) $	Hy but not 0, m = 0-3 Q=0, NO 15 =0-10
B FIA N	N-11- X-Y-Z NH2	all bonds	single un ChaiN
CAS (least Alca) Bubs	$B \longrightarrow A$	-	RECEI SEP - 9
AISO.		custemat	VED 2005
		WCAP 27	
*********	*********	*******	*****
STAFF USE ONLY	Type of Search NA Sequence (#)	Vendors and cost whereSTN	applicable Dialog
Searcher:		Questel/Orbit	
Searcher Location:	Structure (#)		WWW/Internet
Date Searcher Picked Up: 4-14-	Bibliographic	In-house sequence sy	vstems
Date Completed:	Litigation	CommercialOligo InterferenceSPD	
Searcher Prep & Review Time:		Other (speci	fy) ·
Online Time:	Other		

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(FILE 'HOME' ENTERED AT 10:56:36 ON 14 SEP 2005)
     FILE 'REGISTRY' ENTERED AT 10:56:43 ON 14 SEP 2005
L1
                STR
     FILE 'CASREACT' ENTERED AT 11:05:42 ON 14 SEP 2005
L2
                STR L1
L3
                STR L2
L4
                STR L1
                                   0 REACTIONS)
              0 SEA SSS SAM L4 (
L5
L6
              0 SEA SSS FUL L4 (
                                    0 REACTIONS)
L7
                STR L1
     FILE 'HCAPLUS' ENTERED AT 11:14:42 ON 14 SEP 2005
                E US2003-719102/APPS
L8
              2 SEA ABB=ON PLU=ON (US2003-719102/AP OR US2003-719102/PRN)
                SEL RN
     FILE 'REGISTRY' ENTERED AT 11:15:04 ON 14 SEP 2005
             94 SEA ABB=ON PLU=ON (105-56-6/BI OR 4637-24-5/BI OR 873-83-6/BI
L9
                 OR 100-39-0/BI OR 102284-71-9/BI OR 107-08-4/BI OR 109-65-9/BI
                 OR 110472-90-7/BI OR 133657-31-5/BI OR 142665-13-2/BI OR
                146830-67-3/BI OR 172751-17-6/BI OR 175205-61-5/BI OR 18162-48-
                6/BI OR 2434-53-9/BI OR 26914-02-3/BI OR 3587-60-8/BI OR
                37622-90-5/BI OR 401647-24-3/BI OR 41862-09-3/BI OR 41862-11-7/
                BI OR 531506-20-4/BI OR 531506-21-5/BI OR 531506-22-6/BI OR
                531506-23-7/BI OR 531506-24-8/BI OR 531506-25-9/BI OR 531506-26
                -0/BI OR 531506-27-1/BI OR 531506-28-2/BI OR 531506-29-3/BI OR
                531506-30-6/BI OR 531506-31-7/BI OR 531506-32-8/BI OR 531506-33
                -9/BI OR 531506-34-0/BI OR 531506-35-1/BI OR 531506-36-2/BI OR
                531506-37-3/BI OR 531506-38-4/BI OR 531506-39-5/BI OR 531506-40
                -8/BI OR 531506-41-9/BI OR 531506-42-0/BI OR 531506-43-1/BI OR
                531506-44-2/BI OR 531506-45-3/BI OR 531506-47-5/BI OR 531506-49
                -7/BI OR 531506-50-0/BI OR 538-32-9/BI OR 542-69-8/BI OR
                57238-75-2/BI OR 5770-23-0/BI OR 609-65-4/BI OR 625-52-5/BI OR
                631913-91-2/BI OR 631913-92-3/BI OR 631913-93-4/BI OR 631913-94
                -5/BI OR 631913-95-6/BI OR 631913-96-7/BI OR 631913-97-8/BI OR
                631913-98-9/BI OR 631913-99-0/BI OR 631914-00-6/BI OR 631914-01
                -7/BI OR 631914-02-8/BI OR 631914-03-9/BI OR 631914-04-0/BI OR
                631914-05-1/BI OR 637-59-2/BI OR 63981-31-7/BI OR 71597-85-8/BI
                 OR 73217-31-9/BI OR 752222-78-9/BI OR 752222-79-0/BI OR
                752222-81-4/BI OR 752222-82-5/BI OR 752222-83-6/BI OR 752222-84
                -7/BI OR 752222-85-8/BI OR 752222-86-9/BI OR 752222-87-0/BI OR
                752222-88-1/BI OR 76513-69-4/BI OR 80798-51-2/BI OR 80798-52-3/
                BI OR 81250-30-8/BI OR 81250-31-9/BI OR 81250-34-2/BI OR
                90154-04-4/BI OR 94781-76-7/BI OR 99-76-3/BI)
L10
             68 SEA ABB=ON PLU=ON L9 AND NCNC3/ESS
L11
            36 SEA ABB=ON PLU=ON L10 AND NR>3
            47 SEA ABB=ON PLU=ON L10 AND NR>2
L12
     FILE 'HCAPLUS' ENTERED AT 11:16:16 ON 14 SEP 2005
L13
             2 SEA ABB=ON PLU=ON L12 AND L8
               D IALL HITSTR 1-2
```

FILE 'CASREACT' ENTERED AT 11:17:01 ON 14 SEP 2005 E US2003-719102/APPS

```
FILE 'REGISTRY' ENTERED AT 11:17:52 ON 14 SEP 2005
               D L7
L14
               STR L1
L15
             0 SEA SSS SAM L7
               D QUE
L16
            89 SEA SSS FUL L7
L17
            0 SEA SSS SAM L14
L18
            27 SEA SSS FUL L14
    FILE 'HCAPLUS' ENTERED AT 11:22:13 ON 14 SEP 2005
L19
            3 SEA ABB=ON PLU=ON L16 AND L18
             1 SEA ABB=ON PLU=ON L8 AND L19
L20
L21
             3 SEA ABB=ON
                          PLU=ON L18(L)RACT+ALL/RL
L22
            21 SEA ABB=ON
                          PLU=ON L16(L)PREP+ALL/RL
L23
             2 SEA ABB=ON
                          PLU=ON L21 AND L22
L24
             3 SEA ABB=ON PLU=ON L19 OR L23
     FILE 'BEILSTEIN' ENTERED AT 11:26:22 ON 14 SEP 2005
               D QUE
L25
             6 SEA SSS FUL L7
L26
             0 SEA SSS FUL L14
               SEL L25 BRN
L27
             0 SEA ABB=ON PLU=ON (1174144/PBRN OR 3578661/PBRN OR 6155823/PB
               RN OR 6883344/PBRN OR 8287289/PBRN OR 8814448/PBRN)
     FILE 'STNGUIDE' ENTERED AT 11:29:20 ON 14 SEP 2005
     FILE 'BEILSTEIN' ENTERED AT 11:31:20 ON 14 SEP 2005
             8 SEA ABB=ON PLU=ON (1174144/RX.PBRN OR 3578661/RX.PBRN OR
L28
               6155823/RX.PBRN OR 6883344/RX.PBRN OR 8287289/RX.PBRN OR
               8814448/RX.PBRN)
     FILE HOME
     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.
     STRUCTURE FILE UPDATES:
                              13 SEP 2005 HIGHEST RN 863091-33-2
     DICTIONARY FILE UPDATES: 13 SEP 2005 HIGHEST RN 863091-33-2
     New CAS Information Use Policies, enter HELP USAGETERMS for details.
     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005
       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.
     *******************
     * The CA roles and document type information have been removed from *
     * the IDE default display format and the ED field has been added,
     * effective March 20, 2005. A new display format, IDERL, is now
     * available and contains the CA role and document type information. *
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

FILE CASREACT

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 11 Sep 2005 VOL 143 ISS 11

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE HCAPLUS

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FILE COVERS 1907 - 14 Sep 2005 VOL 143 ISS 12 FILE LAST UPDATED: 13 Sep 2005 (20050913/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE RELOADED ON OCTOBER 20, 2002
FILE LAST UPDATED ON JUNE 29, 2005

FILE COVERS 1771 TO 2005.
FILE CONTAINS 9,271,550 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in

separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

- * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.
- * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE
- * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE
- * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.
- * FOR PRICE INFORMATION SEE HELP COST

NEW

- * PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE STNGUIDE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Sep 9, 2005 (20050909/UP).

VAR G1=50/59 REP G2=(0-10) C VAR G3=53/HY NODE ATTRIBUTES:

```
CONNECT IS E3 RC AT
CONNECT IS E3
             RC AT
CONNECT IS E3 RC AT
CONNECT IS E3
             RC AT
CONNECT IS E2
             RC AT
CONNECT IS E3
              RC AT
                      8
CONNECT IS E2
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                      9
CONNECT IS E1
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                     10
CONNECT IS E1
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                     11
CONNECT IS E3
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CONNECT IS E3 RC AT
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CONNECT IS E3 RC AT
CONNECT IS E1 RC AT
                     19
CONNECT IS E1 RC AT
                     20
CONNECT IS E3 RC AT
                     23
CONNECT IS E1 RC AT
DEFAULT MLEVEL IS ATOM
GGCAT
       IS UNS AT 59
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M6 C AT 59
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE

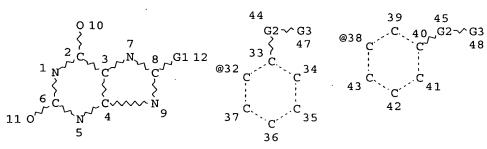
L6 0 SEA FILE=CASREACT SSS FUL L4 (0 REACTIONS)

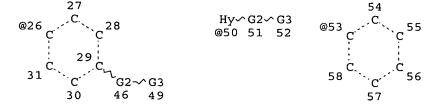
100.0% DONE 385 VERIFI SEARCH TIME: 00.00.01

385 VERIFIED 0 HIT RXNS

0 DOCS

=>d@querstat+124 L7 STR





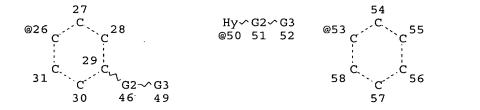
VAR G1=32/38/26/50

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REP G2 = (0-10) C
VAR G3=53/HY
NODE ATTRIBUTES:
CONNECT IS E3 RC AT
CONNECT IS E2 RC AT
CONNECT IS E3 RC AT
CONNECT IS E2 RC AT
CONNECT IS E1 RC AT
                      10
CONNECT IS E1 RC AT
                      11
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 45

STEREO ATTRIBUTES: NONE L14 STR



VAR G1=32/38/26/50 REP G2 = (0-10) C VAR G3=53/HY NODE ATTRIBUTES: CONNECT IS E3 RC AT CONNECT IS E3 RC AT 15 CONNECT IS E3 RC AT 16 CONNECT IS E3 RC AT 18 CONNECT IS E1 RC AT 19 CONNECT IS E1 RC AT 20 CONNECT IS E3 RC AT CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 46

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STEREO ATTRIBUTES: NONE

L16 89 SEA FILE=REGISTRY SSS FUL L7

L18 27 SEA FILE=REGISTRY SSS FUL L14

L19 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L16 AND L18

L21 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L18 (L) RACT+ALL/RL

L22 21 SEA FILE=HCAPLUS ABB=ON PLU=ON L16 (L) PREP+ALL/RL

L23 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L21 AND L22

L24 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L19 OR L23
```

=> d l24 ibib abs hitstr 1-3
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L24 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:739974 HCAPLUS

DOCUMENT NUMBER:

141:243230

TITLE:

A2B adenosine receptor antagonists

INVENTOR(S):

Elzein, Elfatih; Kalla, Rao; Marquart, Tim; Zablocki,

Jeff; Li, Xiaofen

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S.

Ser. No. 431,167.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

Miscase

PATENT NO.	KIND DATE	APPLICATION NO. DATE						
	A1 20040909 A1 20030724 B2 20041130	US 2003-719102 US 2002-290921	20031121 20021108					
US 2003229106	A1 20031211	031211 US 2003-431167 050609 WO 2004-US38136						
W: AE, AG, AL, CN, CO, CR, GE, GH, GM, LK, LR, LS, NO, NZ, OM, TJ, TM, TN, RW: BW, GH, GM, AZ, BY, KG, EE, ES, FI,	AM, AT, AU, AZ, BA CU, CZ, DE, DK, DM, HR, HU, ID, IL, IN LT, LU, LV, MA, MD PG, PH, PL, PT, RO TR, TT, TZ, UA, UG KE, LS, MW, MZ, NA KZ, MD, RU, TJ, TM FR, GB, GR, HU, IE TR, BF, BJ, CF, CG	A, BB, BG, BR, BW, M, DZ, EC, EE, EG, N, IS, JP, KE, KG, D, MG, MK, MN, MW, D, RU, SC, SD, SE, G, US, UZ, VC, VN, A, SD, SL, SZ, TZ, M, AT, BE, BG, CH, E, IS, IT, LU, MC,	BY, BZ, CA, CH, ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW UG, ZM, ZW, AM, CY, CZ, DE, DK, NL, PL, PT, RO,					
NE, SN, TD, PRIORITY APPLN. INFO.:		US 2001-348222P US 2002-401408P US 2002-290921 US 2003-431167 US 2003-719102	P 20011109 P 20020805 A2 20021108 A2 20030506					

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AB Disclosed are processes for the synthesis of novel compds., I (R1, R2 = optionally substituted alkyl; X = optionally substituted arylene or heteroarylene; Y= covalent bond or lower alkylene; X = optionally substituted monocyclic aryl or heteroaryl), that are A 2B adenosine receptor antagonists, useful for treating various disease states, including asthma and diarrhea. Thus, amino-N-ethylamide and Et cyanoacetate were reacted in an ethanolic solution of sodium ethoxide to form 6-amino-1-ethyl-1,3-dihydropyrimidine-2,4-dione which was treated with N,N-dimethylformamide dimethylacetal to provide the corresponding azavinyl derivative The azavinyl derivative was alkylated with Pr iodide and then reduced

II

to the amine in a solution of aqueous ammonia. The resulting amine was converted

to the nitroso using sodium nitrite, and the resulting nitroso was subsequently reduced to the amine using 10% Pd/C. The diamine obtained in the previous step was treated with $1-\{[(3-\text{trifluoromethyl})\text{phenyl}]\text{methyl}\}-\text{pyrazole-4-carboxylic}$ acid and then condensed using NaOH in methanol to give II.

- IT 752222-83-6P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of A2B adenosine receptor antagonists for the treatment of diseases such as asthma and diarrhea)

- RN 752222-83-6 HCAPLUS
- CN 1H-Purine-2,6-dione, 3-ethyl-3,7-dihydro-1-propyl-8-[1-[[3-(trifluoromethyl)phenyl]methyl]-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{Et} \\
 & \text{N} \\
 & \text{N} \\
 & \text{N} \\
 & \text{N} \\
 & \text{CF}_{3}
\end{array}$$

IT 752222-82-5P 752222-84-7P 752222-85-8P

752222-86-9P 752222-87-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of A2B adenosine receptor antagonists for the treatment of diseases such as asthma and diarrhea)

RN 752222-82-5 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(6-amino-1-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-3-propyl-5-pyrimidinyl)-1-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 752222-84-7 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-amino-1,2,3,6-tetrahydro-2,6-dioxo-1-propyl-5-pyrimidinyl)-1-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 752222-85-8 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(6-amino-1-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)-1-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 752222-86-9 HCAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-amino-1-(cyclopropylmethyl)-1,2,3,6-tetrahydro-2,6-dioxo-5-pyrimidinyl]-1-[[3-(trifluoromethyl)phenyl]methyl]-

(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 752222-87-0 HCAPLUS

1H-Pyrazole-4-carboxamide, N-(6-amino-1,2,3,4-tetrahydro-1-methyl-2,4-CNdioxo-5-pyrimidinyl)-1-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L24 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:161119 HCAPLUS

DOCUMENT NUMBER: 132:203174

TITLE: Inhibitors of $p38-\alpha$ kinase, preparation thereof,

and therapeutic use

INVENTOR(S): Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel,

Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep;

Schreiner, George F.; Liu, David Y.; Lewicki, John A.

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

										APPLICATION NO.										
WO					A2		2000	0309	WO 1999-US19845											
WO																				
	W :	ΑE,	AL,	AU,	BA,	BB,	BG,	BR,	CA,	CN,	CR,	CU,	CZ,	EE,	GE,	ΗU,	IL,			
		IN,	IS,	JΡ,	ΚP,	KR,	LC,	LK,	LR,	LT,	LV,	MG,	MK,	MN,	MX,	NO,	NZ,			
		PL,	RO,	SG,	SI,	SK,	TR,	TT,	UA,	US,	UΖ,	VN,	ZA,	AM,	AZ,	BY,	KG,			
					TJ,									•	•	•				
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	ŬĠ,	ZW,	AT,	BE,	CH.	CY,	DE.	DK.			
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CA 2342251												19990827								
							AU 1999-57936													
AU 772477									AO 1			1000021								
					A2 20010620 EP 1999-945316									10000007						
EP		-							GB, GR, IT, LI, LU, 1											
	R:		•					FR,	GB,	GR,	IT,	LI,	LU,	ΝL,	SE,	MC,	PT,			
IE, SI, LT,																				
BR 9913654				Α	2001	1127	BR 1999-13654						19990827							
JP 2002523448					Т2		2002	0730		JP 2	-000	5671	19990827							
								US 1	1998-	9821	9P		P 1	9980	828					
										US 1	1999-	1253	43P		P 1	9990	319			
										WO I	1999-	US19	845		W 1	9990	827			
OTHER S		MAR	PAT	MARPAT 132:203174																

OTHER SOURCE(S):

MARPAT 132:203174

$$Ar^{1}X^{1}N \xrightarrow{ZX^{2}Ar^{2}}$$

Methods are provided for treating conditions mediated by $p38-\alpha$ AB kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un) substituted C1-20 hydrocarbyl (at least one of Arl and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un) substituted Ph, Arl is other than (un) substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un) substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical composition thereof. Preparation of compds. is

described. Compds. of the invention may be used to treat $p38-\alpha$ kinase-mediated conditions.

IT 260427-51-8 260427-52-9

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 $(p38-\alpha \text{ kinase inhibitors, preparation, and therapeutic use})$

260427-51-8 HCAPLUS RN

CN Pyrazinecarboxamide, N-(6-amino-1,2,3,4-tetrahydro-2,4-dioxo-1,3-dipropyl-5-pyrimidinyl)-3-[[4-(phenylmethyl)-1-piperidinyl]carbonyl]- (9CI) (CA

INDEX NAME)

RN 260427-52-9 HCAPLUS

CN Piperidine, 4-(phenylmethyl)-1-[4-(2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)benzoyl]- (9CI) (CA INDEX NAME)

L24 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1999:736230 HCAPLUS

DOCUMENT NUMBER:

131:337033

TITLE:

Immunosuppressive effects of 8-substituted xanthine

derivatives

INVENTOR(S):

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Maria; Pfleiderer, Wolfgang

PATENT ASSIGNEE(S):

K.U. Leuven Research and Development, Belg.

SOURCE:

Eur. Pat. Appl., 24 pp. CODEN: EPXXDW

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Patent

LANGUAGE:

English

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PATENT INFORMATION:

PATENT NO.						KIN	D	DATE			APPL	ICAT	DATE					
							-											
EP 956855					A1		19991117 EP 1998-201323							19980424				
EP 956855				В1		2003	0312											
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
						T.V						•	•		•	•	- •	- •

AT 234099 E 20030315 AT 1998-201323 19980424 ES 2189079 Т3 20030701 ES 1998-201323 19980424 PRIORITY APPLN. INFO.: 19980424 EP 1998-201323 OTHER SOURCE(S): MARPAT 131:337033 GT

$$\begin{array}{c|c}
X & R^3 \\
N & N & Z^1 - Z^2 \\
Y & N & R^3
\end{array}$$

Ι

AB The title compds. [I; R1-R3 = H, (un)saturated alkyl; X, Y = O, S; Z1 =
 thienyl, furanyl, cyclopentyl, etc.; Z2 = Ph, sulfonic acid;
 (un)substituted sulfonamide, etc.], useful for the treatment of
 auto-immuno disorders, were prepared Thus, alkylation of xanthine I [R1 =
 H; R2 = R3 = Me; Z1 = H; X = Y = O] with propargyl bromide afforded I [R1
 = HC.tplbond.CCH2; R2 = R3 = Me; Z1 = H; X = Y = O] which showed IC50 of >
 200 μM in vitro MLR experiment

IT 93214-88-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(immunosuppressive effects of 8-substituted xanthine derivs.)

RN 93214-88-1 HCAPLUS

CN 1H-Purine-2,6-dione, 8-[1,1'-biphenyl]-4-yl-3,7-dihydro-1,3-dimethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & H \\ \hline N & N \\ \hline N & N \\ \hline N & N \\ \hline N & Ph \\ \hline Me \\ \end{array}$$

RN 249929-83-7 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(6-amino-1,2,3,4-tetrahydro-2,4-dioxo-1-propyl-5-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 249929-84-8 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(6-amino-1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-5-pyrimidinyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT